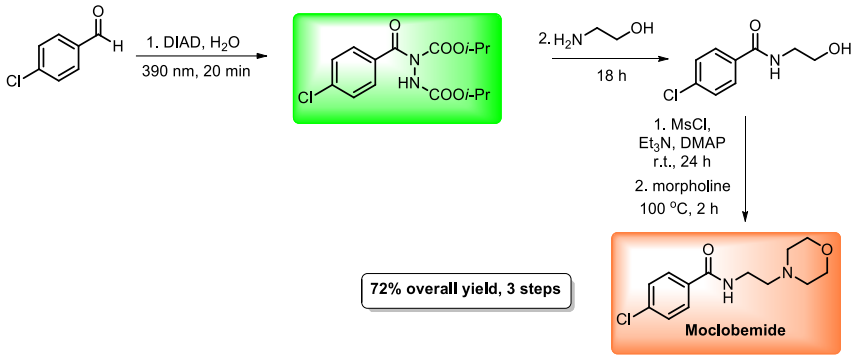
A New Green Photochemical Synthesis of Moclobemide

Naya A. Stini *, Efthymios T. Poursaitidis *, Christoforos G. Kokotos *

* Laboratory of Organic Chemistry, Department of Organic Chemistry, National and Kapodistrian University of Athens, Athens, 15771, Greece

Email: nayastini@chem.uoa.gr

Moclobemide is a monoamine oxidase (MAO) inhibitor, which has a short-lasting and reversible activity. Its chemical profile suggests that it is a very promising drug for the treatment of affective disorders, since monoamine oxidase-A inhibitors are potential drug candidates for treating depression.[1] Considering that modern organic synthetic chemistry is in a continuous lookout for green and sustainable organic transformations, we aimed at developing a greener protocol for the hydroacylation of azodicarboxylates. The formed acyl hydrazide can react with ethanolamine to afford the desired amide, as the product of the first step of a "greener" synthesis of Moclobemide. After thorough optimization, we concluded that water and irradiation at 390 nm, without requiring any additional catalyst, can lead to the desired acyl hydrazide in excellent yield (85%) and in extremely short reaction time (20 min). Using the developed method, Moclobemide was synthesized in a total yield of 72%, starting from p-chloro benzaldehyde.[2]



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References

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